processstepssearch

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1612bxr

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 14:39:37 ON 22 JUN 2008
FILE 'REGISTRY' ENTERED AT 14:39:37 ON 22 JUN 2008
COPYRIGHT (C) 2008 American Chemical Society (ACS)

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.38	1044.62
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-40.00

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.38	1044.62
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-40.00

FILE 'REGISTRY' ENTERED AT 14:39:44 ON 22 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 20 JUN 2008 HIGHEST RN 1029712-63-7
DICTIONARY FILE UPDATES: 20 JUN 2008 HIGHEST RN 1029712-63-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Updated Search

processstepssearch

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\andfhg.str

L25 STRUCTURE UPLOADED

=> d l25
L25 HAS NO ANSWERS
L25 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.46 1045.08

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -40.00

FILE 'HCAPLUS' ENTERED AT 14:40:19 ON 22 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 22 Jun 2008 VOL 148 ISS 26
FILE LAST UPDATED: 20 Jun 2008 (20080620/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l25/prep
SEARCH PROFILE NOT SUPPORTED FOR AUTOMATED SEARCH AND CROSSOVER
The search profile contains L-numbers or saved item names that include chemical substance terms, chemical structures, or structure screen sets. If you are in a single file environment using the CA file (CA, HCA, ZCA, CAPLUS, HCAPLUS, ZCAPLUS), enter HELP FIRST at an arrow prompt (=>) for information about the REGistRY automated search and

processstepssearch

crossover feature. REGlstRY supports the following search profiles:

```
Example 1:
   => ACT SCRSTR/Q
   L3              STR
   L4              SCR 2127
   L5              QUE  L3 NOT L4

   These searches are supported:
   S  L5/REG
   S  SCRSTR/Q/REG
   S  (L3 NOT L4)/REG

   These searches are not supported:
   S  L5
   S  SCRSTR/Q

Example 2:
   => ACT SCRSTR2/Q
   L6              STR
   L7              SCR 2127
   L8              QUE  L6
   L9              QUE  L7
   L10             QUE  L8 NOT L9

   This search is supported:
   S  (L6 NOT L7)/REG

   These searches are not supported:
   S  L10
   S  L10/REG
   S  SCRSTR2/Q
   S  SCRSTR2/Q/REG
   S  L8 NOT L9
   S  (L8 NOT L9)/REG

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     2.69    1047.77

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -40.00

FILE 'REGISTRY' ENTERED AT 14:40:26 ON 22 JUN 2008
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STRUCTURE FILE UPDATES:   20 JUN 2008  HIGHEST RN 1029712-63-7
DICTIONARY FILE UPDATES:  20 JUN 2008  HIGHEST RN 1029712-63-7
```

Updated Search

processstepssearch

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d his

     (FILE 'HOME' ENTERED AT 13:57:46 ON 22 JUN 2008)

     FILE 'REGISTRY' ENTERED AT 14:02:26 ON 22 JUN 2008
L1   STRUCTURE UPLOADED
L2   0 S L1
L3   2 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 14:10:02 ON 22 JUN 2008
L4   1 S L3

     FILE 'CAOLD' ENTERED AT 14:10:20 ON 22 JUN 2008
L5   0 S L3

     FILE 'REGISTRY' ENTERED AT 14:10:26 ON 22 JUN 2008
L6   STRUCTURE UPLOADED
L7   0 S L6
L8   0 S L6 FULL
L9   STRUCTURE UPLOADED
L10   0 S L9
L11   3 S L9 FULL

     FILE 'HCAPLUS' ENTERED AT 14:14:01 ON 22 JUN 2008
L12   1 S L11

     FILE 'REGISTRY' ENTERED AT 14:14:11 ON 22 JUN 2008
L13   STRUCTURE UPLOADED
L14   5 S L13
L15   85 S L13 FULL

     FILE 'HCAPLUS' ENTERED AT 14:16:39 ON 22 JUN 2008
L16   49 S L15
L17   0 S L16 AND RODE, B?/AU
L18   0 S L16 AND ROZMAN, D?/AU
L19   0 S L16 AND TACER, K?/AU
L20   0 S L16 AND KOCJAN, D?/AU

     FILE 'CAOLD' ENTERED AT 14:23:43 ON 22 JUN 2008
L21   2 S L15

     FILE 'REGISTRY' ENTERED AT 14:24:00 ON 22 JUN 2008

Updated Search

```
processstepssearch

L22           1 S 66711-31-7/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 14:24:25 ON 22 JUN 2008
L23           1 S 110424-58-3/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 14:24:39 ON 22 JUN 2008
L24           1 S 110439-26-4/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 14:39:44 ON 22 JUN 2008
L25             STRUCTURE UPLOADED

     FILE 'HCAPLUS' ENTERED AT 14:40:19 ON 22 JUN 2008

     FILE 'REGISTRY' ENTERED AT 14:40:26 ON 22 JUN 2008

=>
Uploading C:\Documents and Settings\brobinson1\My
Documents\stnweb\Queries\andfhg.str

L26     STRUCTURE UPLOADED

=> s l26
SAMPLE SEARCH INITIATED 14:40:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      726 TO ITERATE

100.0% PROCESSED      726 ITERATIONS                                 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       12904 TO    16136
PROJECTED ANSWERS:              5 TO      234

L27           5 SEA SSS SAM L26

=> s l26 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 14:40:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    13884 TO ITERATE

100.0% PROCESSED    13884 ITERATIONS                              85 ANSWERS
SEARCH TIME: 00.00.01

L28          85 SEA SSS FUL L26

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              178.36    1226.13



Updated Search
```

processstepssearch

```
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)       SINCE FILE      TOTAL
                                                    ENTRY    SESSION
CA SUBSCRIBER PRICE                                  0.00     -40.00

FILE 'HCAPLUS' ENTERED AT 14:40:57 ON 22 JUN 2008
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FILE COVERS 1907 - 22 Jun 2008  VOL 148 ISS 26
FILE LAST UPDATED: 20 Jun 2008  (20080620/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s l28/prep
            49 L28
       4591675 PREP/RL
L29          20 L28/PREP
                  (L28 (L) PREP/RL)

=> file reg
COST IN U.S. DOLLARS                             SINCE FILE      TOTAL
                                                    ENTRY    SESSION
FULL ESTIMATED COST                                  2.69    1228.82

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)       SINCE FILE      TOTAL
                                                    ENTRY    SESSION
CA SUBSCRIBER PRICE                                  0.00     -40.00

FILE 'REGISTRY' ENTERED AT 14:41:02 ON 22 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   20 JUN 2008  HIGHEST RN 1029712-63-7
DICTIONARY FILE UPDATES:  20 JUN 2008  HIGHEST RN 1029712-63-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.
```

Updated Search

processstepssearch

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

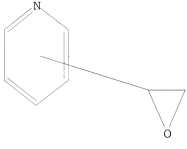
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\2wertfgvb.str

L30 STRUCTURE UPLOADED

=> d l30
L30 HAS NO ANSWERS
L30 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l30
SAMPLE SEARCH INITIATED 14:42:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 513 TO ITERATE

100.0% PROCESSED 513 ITERATIONS 25 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8902 TO 11618
PROJECTED ANSWERS: 200 TO 800

L31 25 SEA SSS SAM L30

=> s l30 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 14:42:48 FILE 'REGISTRY'

Updated Search

processstepssearch

FULL SCREEN SEARCH COMPLETED - 10041 TO ITERATE

100.0% PROCESSED 10041 ITERATIONS 513 ANSWERS
SEARCH TIME: 00.00.01

L32 513 SEA SSS FUL L30

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 179.28 1408.10

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -40.00

FILE 'HCAPLUS' ENTERED AT 14:42:51 ON 22 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 22 Jun 2008 VOL 148 ISS 26
FILE LAST UPDATED: 20 Jun 2008 (20080620/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l32/rct
310 L32
3112224 RCT/RL
L33 176 L32/RCT
(L32 (L) RCT/RL)

=> d his

(FILE 'HOME' ENTERED AT 13:57:46 ON 22 JUN 2008)

FILE 'REGISTRY' ENTERED AT 14:02:26 ON 22 JUN 2008
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 2 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 14:10:02 ON 22 JUN 2008

Updated Search

processstepssearch

```
L4            1 S L3

     FILE 'CAOLD' ENTERED AT 14:10:20 ON 22 JUN 2008
L5            0 S L3

     FILE 'REGISTRY' ENTERED AT 14:10:26 ON 22 JUN 2008
L6              STRUCTURE UPLOADED
L7            0 S L6
L8            0 S L6 FULL
L9              STRUCTURE UPLOADED
L10           0 S L9
L11           3 S L9 FULL

     FILE 'HCAPLUS' ENTERED AT 14:14:01 ON 22 JUN 2008
L12           1 S L11

     FILE 'REGISTRY' ENTERED AT 14:14:11 ON 22 JUN 2008
L13             STRUCTURE UPLOADED
L14           5 S L13
L15          85 S L13 FULL

     FILE 'HCAPLUS' ENTERED AT 14:16:39 ON 22 JUN 2008
L16          49 S L15
L17           0 S L16 AND RODE, B?/AU
L18           0 S L16 AND ROZMAN, D?/AU
L19           0 S L16 AND TACER, K?/AU
L20           0 S L16 AND KOCJAN, D?/AU

     FILE 'CAOLD' ENTERED AT 14:23:43 ON 22 JUN 2008
L21           2 S L15

     FILE 'REGISTRY' ENTERED AT 14:24:00 ON 22 JUN 2008
L22           1 S 66711-31-7/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 14:24:25 ON 22 JUN 2008
L23           1 S 110424-58-3/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 14:24:39 ON 22 JUN 2008
L24           1 S 110439-26-4/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY

     FILE 'REGISTRY' ENTERED AT 14:39:44 ON 22 JUN 2008
L25             STRUCTURE UPLOADED

     FILE 'HCAPLUS' ENTERED AT 14:40:19 ON 22 JUN 2008

     FILE 'REGISTRY' ENTERED AT 14:40:26 ON 22 JUN 2008
L26             STRUCTURE UPLOADED
L27           5 S L26
L28          85 S L26 FULL
```

Updated Search

processstepssearch

```
     FILE 'HCAPLUS' ENTERED AT 14:40:57 ON 22 JUN 2008
L29           20 S L28/PREP

     FILE 'REGISTRY' ENTERED AT 14:41:02 ON 22 JUN 2008
L30               STRUCTURE UPLOADED
L31           25 S L30
L32          513 S L30 FULL

     FILE 'HCAPLUS' ENTERED AT 14:42:51 ON 22 JUN 2008
L33          176 S L32/RCT

=> s l33 and l29
L34           6 L33 AND L29

=> s l34 and rode, b?/au
            404 RODE, B?/AU
L35           0 L34 AND RODE, B?/AU

=> s l34 and rozman, d?/au
             70 ROZMAN, D?/AU
L36           0 L34 AND ROZMAN, D?/AU

=> s l34 and tacer, k?/au
              6 TACER, K?/AU
L37           0 L34 AND TACER, K?/AU

=> s l34 and kocjan, d?/au
             73 KOCJAN, D?/AU
L38           0 L34 AND KOCJAN, D?/AU

=> d l34, ibib abs hitstr, 1-6

L34  ANSWER 1 OF 6  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2005:1345046  HCAPLUS
DOCUMENT NUMBER:          144:69823
TITLE:                    Preparation of heteroarylpyrazoles as p38 kinase
                          inhibitors
INVENTOR(S):              Naraian, Ashok S.; Clare, Michael; Collins, Paul W.;
                          Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.;
                          Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.;
                          Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle,
                          Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan;
                          Metz, Suzanne; Partis, Richard A.; Perry, Thao D.;
                          Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael
                          S.; Stealey, Michael A.; Talley, John Jeffrey;
                          Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong;
                          Khanna, Ish K.; Yu, Yi; Naing, Win; Walker, John;
                          Yang, Syaulan
PATENT ASSIGNEE(S):       Pharmacia Corporation, USA
SOURCE:                   U.S., 548 pp.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   5
PATENT INFORMATION:
```

Updated Search

processstepssearch

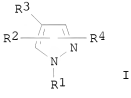
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6979686	B1	20051227	US 2001-21780	20011207
AU 2003200580	A1	20030501	AU 2003-200580	20030217
US 7071198	B2	20060704	US 2004-840734	20040505
US 20070078146	A1	20070405		

PRIORITY APPLN. INFO.:

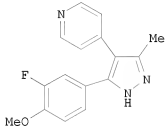
US 1997-47570P	P	19970522
AU 1998-75883	A3	19980522
US 1998-196623	A2	19981120
US 2000-513351	A3	20000224
US 2001-21780	A3	20011207

OTHER SOURCE(S): MARPAT 144:69823

GI



I



II

AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, mercapto, aryl, heterocyclyl, etc.; R3 = (un)substituted pyridinyl, pyrimidinyl, quinolinyl, etc.; R4 = H, alkyl, (un)substituted Ph, etc.; and pharmaceutically acceptable salts or tautomers thereof] were prepared by solution phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO to give the butenone (80%), which was cyclocondensed with TsNHNH2 to afford the title compound II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC50 of 4.6 μM and inhibited tumor necrosis factor α (TNFα) and interleukin 1β (IL-1β) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC50 of 0.5 μM. Thus, I are useful for the treatment of inflammation, arthritis, asthma, and other disorders mediated by p38 kinase and TNFα. The pharmaceutical compns. comprising the compound I are disclosed.

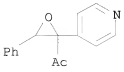
IT 216529-28-1P 216529-30-5P
RL: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216529-28-1 HCAPLUS

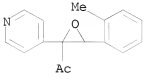
CN Ethanone, 1-[3-phenyl-2-(4-pyridinyl)oxiranyl]- (9CI) (CA INDEX NAME)

Updated Search

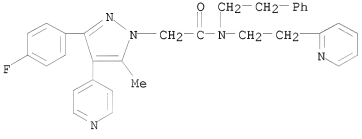
processstepssearch



RN 216529-30-5 HCAPLUS
CN Ethanone, 1-[3-(2-methylphenyl)-2-(4-pyridinyl)oxiranyl]- (9CI) (CA INDEX NAME)

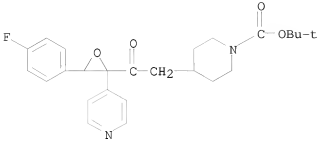


IT 216528-02-8P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)
RN 216528-02-8 HCAPLUS
CN 1H-Pyrazole-1-acetamide, 3-(4-fluorophenyl)-5-methyl-N-(2-phenylethyl)-4-(4-pyridinyl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



IT 271577-29-8
RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)
(preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)
RN 271577-29-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[3-(4-fluorophenyl)-2-(4-pyridinyl)oxiranyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Updated Search



REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:150531 HCAPLUS
DOCUMENT NUMBER: 138:187765
TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors
INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu, Yi
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 415 pp., Cont.-in-part of U.S. Ser. No. 196,623.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525059	B1	20030225	US 2000-513351	20000224
US 6514977	B1	20030204	US 1998-196623	19981120
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2003200580	A1	20030501	AU 2003-200580	20030217
US 7071198	B2	20060704	US 2004-840734	20040505
US 20070078146	A1	20070405		
PRIORITY APPLN. INFO.:			US 1998-196623	A2 19981120
			WO 1999-US26007	A1 19991117

processstepssearch

US 1997-47570P	P	19970522
AU 1998-75883	A3	19980522
US 1998-83670	A2	19980522
US 2000-513351	A3	20000224
US 2001-21780	A3	20011207

OTHER SOURCE(S): MARPAT 138:187765

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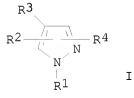

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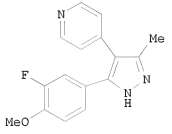


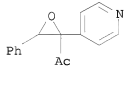
II

AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = (un)substituted piperidinyl; R3 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph; and pharmaceutically acceptable salts or tautomers thereof] were prepared by solution phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO to give the butenone (80%), which was cyclocondensed with TsNHNH2 to afford the title compound II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC50 of 4.6 μM and inhibited tumor necrosis factor α (TNFα) and interleukin 1β (IL-1β) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC50 of 0.5 μM. Thus, I are useful for the treatment of inflammation, arthritis, asthma, and other disorders mediated by p38 kinase and TNFα.

IT 216529-28-1P 216529-30-5P
RL: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216529-28-1 HCAPLUS

CN Ethanone, 1-[3-phenyl-2-(4-pyridinyl)oxiranyl]- (9CI) (CA INDEX NAME)

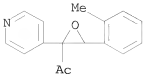


RN 216529-30-5 HCAPLUS

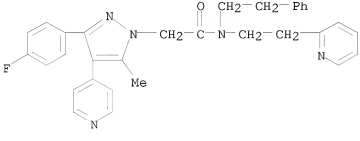
CN Ethanone, 1-[3-(2-methylphenyl)-2-(4-pyridinyl)oxiranyl]- (9CI) (CA INDEX NAME)

Updated Search

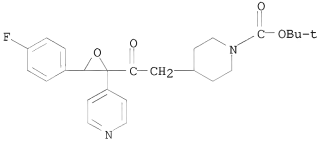
processsstepssearch



IT 216528-02-8P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)
RN 216528-02-8 HCAPLUS
CN 1H-Pyrazole-1-acetamide, 3-(4-fluorophenyl)-5-methyl-N-(2-phenylethyl)-4-(4-pyridinyl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



IT 271577-29-8
RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)
(preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)
RN 271577-29-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[3-(4-fluorophenyl)-2-(4-pyridinyl)oxiranyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

processstepssearch

ACCESSION NUMBER: 2003:92403 HCAPLUS
DOCUMENT NUMBER: 138:137307
TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors
INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu, Yi
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 541 pp., Cont.-in-part of U.S. Ser. No. 83,670. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6514977	B1	20030204	US 1998-196623	19981120
CA 2351725	A1	20000602	CA 1999-2351725	19991117
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1144403	A1	20011017	EP 1999-965756	19991117
EP 1144403	B1	20041006		
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TR 200102001	T2	20011221	TR 2001-2001	19991117
BR 9915420	A	20020122	BR 1999-15420	19991117
HU 2002000130	A2	20020629	HU 2002-130	19991117
EE 200100268	A	20021216	EE 2001-268	19991117
NZ 512344	A	20031128	NZ 1999-512344	19991117
AU 774262	B2	20040624	AU 2000-21454	19991117
AT 278685	T	20041015	AT 1999-965756	19991117
EP 1500657	A1	20050126	EP 2004-23186	19991117
EP 1500657	B1	20070919		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
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ES 2229809	T3	20050416	ES 1999-965756	19991117
AT 373649	T	20071015	AT 2004-23186	19991117
ES 2289411	T3	20080201	ES 2004-23186	19991117
US 6525059	B1	20030225	US 2000-513351	20000224
ZA 2001003882	A	20021014	ZA 2001-3882	20010514

Updated Search

MX 2001PA05043	A	20010710	MX 2001-PA5043		20010518
NO 2001002456	A	20010719	NO 2001-2456		20010518
BG 105620	A	20020131	BG 2001-105620		20010619
US 6423713	B1	20020723	US 2001-918481		20010731
HK 1040705	A1	20050304	HK 2002-102213		20020322
US 6617324	B1	20030909	US 2002-114297		20020402
AU 2003200580	A1	20030501	AU 2003-200580		20030217
US 20040176433	A1	20040909	US 2003-374781		20030225
US 7153959	B2	20061226			
US 7071198	B2	20060704	US 2004-840734		20040505
US 20070078146	A1	20070405			
PRIORITY APPLN. INFO.:			US 1997-47570P	P	19970522
			US 1998-83670	A2	19980522
			AU 1998-75883	A3	19980522
			US 1998-196623	A	19981120
			EP 1999-965756	A3	19991117
			WO 1999-US26007	W	19991117
			US 2000-513351	A3	20000224
			US 2001-918481	A3	20010731
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			US 2002-114297	A3	20020402

OTHER SOURCE(S): MARPAT 138:137307

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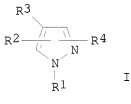

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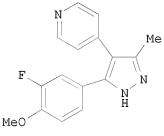
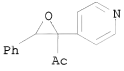


II

AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = (un)substituted piperidinyl or piperazinyl; R3 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph; and pharmaceutically acceptable salts or tautomers thereof] were prepared by solution phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO to give the butenone (80%), which was cyclocondensed with TsNHNH2 to afford the title compound II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC50 of 4.6 μM and inhibited tumor necrosis factor α (TNFα) and interleukin 1β (IL-1β) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC50 of 0.5 μM. Thus, I are useful for the treatment of inflammation, arthritis, asthma, and other disorders mediated by p38 kinase and TNFα.

IT 216529-28-1P 216529-30-5P
RL: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heteroarylpyrazole p38 kinase inhibitors by

cyclocondensation of hydrazines with ketones)
RN 216529-28-1 HCAPLUS
CN Ethanone, 1-[3-phenyl-2-(4-pyridinyl)oxiranyl]- (9CI) (CA INDEX NAME)



RN 216529-30-5 HCAPLUS
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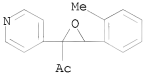
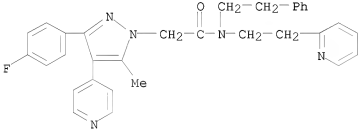
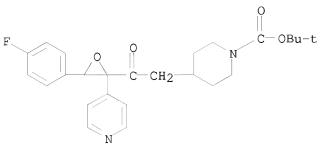


IT 216528-02-8P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)
RN 216528-02-8 HCAPLUS
CN 1H-Pyrazole-1-acetamide, 3-(4-fluorophenyl)-5-methyl-N-(2-phenylethyl)-4-(4-pyridinyl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



IT 271577-29-8
RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)
(preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)
RN 271577-29-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[3-(4-fluorophenyl)-2-(4-pyridinyl)oxiranyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:368337 HCAPLUS
DOCUMENT NUMBER: 133:4656
TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors
INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Z.; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Khanna, Ish K.; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Yu, Yi
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 1210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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CA 2351725	A1	20000602	CA 1999-2351725	19991117
EP 1144403	A1	20011017	EP 1999-965756	19991117
EP 1144403	B1	20041006		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

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AU 774262	B2	20040624	AU 2000-21454		19991117
AT 278685	T	20041015	AT 1999-965756		19991117
ES 2229809	T3	20050416	ES 1999-965756		19991117
US 6525059	B1	20030225	US 2000-513351		20000224
MX 2001PA05043	A	20010710	MX 2001-PA5043		20010518
NO 2001002456	A	20010719	NO 2001-2456		20010518
BG 105620	A	20020131	BG 2001-105620		20010619
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PRIORITY APPLN. INFO.:			US 1998-196623	A	19981120
			US 1997-47570P	P	19970522
			AU 1998-75883	A3	19980522
			US 1998-83670	A2	19980522
			WO 1999-US26007	W	19991117

OTHER SOURCE(S): MARPAT 133:4656

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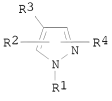

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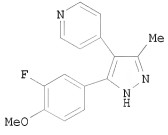


II

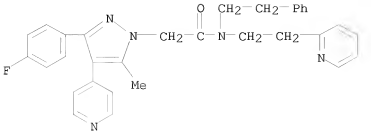
AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, alkyl, alkoxy, (un)substituted piperidinyl, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were prepared by reaction of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product cyclocondensed with TsNHNH2 to give title compound II. Data for biol. activity of I were given.

IT 216528-02-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

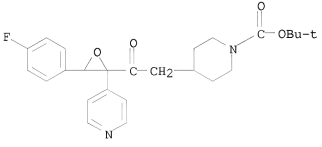
RN 216528-02-8 HCAPLUS

CN 1H-Pyrazole-1-acetamide, 3-(4-fluorophenyl)-5-methyl-N-(2-phenylethyl)-4-(4-pyridinyl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

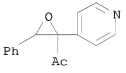
processstepssearch



IT 271577-29-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)
RN 271577-29-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[3-(4-fluorophenyl)-2-(4-pyridinyl)oxiranyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



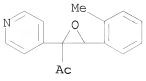
IT 216529-28-1P 216529-30-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)
RN 216529-28-1 HCAPLUS
CN Ethanone, 1-[3-phenyl-2-(4-pyridinyl)oxiranyl]- (9CI) (CA INDEX NAME)



RN 216529-30-5 HCAPLUS
CN Ethanone, 1-[3-(2-methylphenyl)-2-(4-pyridinyl)oxiranyl]- (9CI) (CA INDEX NAME)

Updated Search

processsstepssearch



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:789144 HCAPLUS
DOCUMENT NUMBER: 130:38377
TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors
INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Hanson, Gunnar J.; Koszyk, Francis J.; Liao, Shuyuan; Partis, Richard A.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Weier, Richard M.; Xu, Xiangdong
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; et al.
SOURCE: PCT Int. Appl., 828 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852940	A1	19981126	WO 1998-US10436	19980522
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2291115	A1	19981126	CA 1998-2291115	19980522
AU 9875883	A	19981211	AU 1998-75883	19980522
AU 754830	B2	20021128		
ZA 9804358	A	19990524	ZA 1998-4358	19980522
EP 1000055	A1	20000517	EP 1998-923642	19980522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200000235	T2	20000522	TR 2000-235	19980522
EE 9900527	A	20000615	EE 1999-527	19980522
BR 9809147	A	20000801	BR 1998-9147	19980522
HU 2000001880	A2	20010328	HU 2000-1880	19980522
HU 2000001880	A3	20020328		
JP 2002508754	T	20020319	JP 1998-550650	19980522
NZ 501112	A	20021025	NZ 1998-501112	19980522
AP 1246	A	20040207	AP 1999-1715	19980522
W: GM, GH, KE, LS, MW, SD, SZ, UG, ZW				

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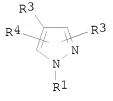
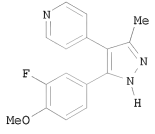
IL 132991	A	20051120	IL 1998-132991		19980522
NO 9905695	A	20000121	NO 1999-5695		19991119
MX 9910759	A	20000531	MX 1999-10759		19991122
BG 64313	B1	20040930	BG 1999-103964		19991208
AU 2003200580	A1	20030501	AU 2003-200580		20030217
PRIORITY APPLN. INFO.:			US 1997-47570P	P	19970522
			AU 1998-75883	A3	19980522
			WO 1998-US10436	W	19980522

OTHER SOURCE(S): MARPAT 130:38377
GI



I



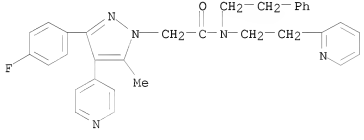
II

AB Title compds. [I; R1 = H, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were prepared Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product cyclocondensed with TsNHNH2 to give title compound II. Data for biol. activity of I were given.

IT 216528-02-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylpyrazoles as p38 kinase inhibitors)

RN 216528-02-8 HCAPLUS

CN 1H-Pyrazole-1-acetamide, 3-(4-fluorophenyl)-5-methyl-N-(2-phenylethyl)-4-(4-pyridinyl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



IT 216529-28-1P 216529-30-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heteroarylpyrazoles as p38 kinase inhibitors)

RN 216529-28-1 HCAPLUS

Updated Search

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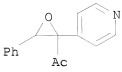
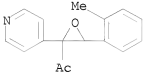
CN Ethanone, 1-[3-phenyl-2-(4-pyridinyl)oxiranyl]- (9CI) (CA INDEX NAME)



RN 216529-30-5 HCAPLUS
CN Ethanone, 1-[3-(2-methylphenyl)-2-(4-pyridinyl)oxiranyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:473335 HCAPLUS
DOCUMENT NUMBER: 109:73335
ORIGINAL REFERENCE NO.: 109:12281a,12284a
TITLE: Pyridineethanolamine derivatives, procedure for their preparation, and their use in treating obesity, diabetes mellitus, and increased protein degradation
INVENTOR(S): Alig, Leo; Muller, Marcel
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254856	A2	19880203	EP 1987-108706	19870616
EP 254856	A3	19890208		
EP 254856	B1	19910904		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 1287061	C	19910730	CA 1987-538235	19870528
US 4800206	A	19890124	US 1987-57150	19870603
FI 8702589	A	19871228	FI 1987-2589	19870610
AT 66916	T	19910915	AT 1987-108706	19870616
ES 2038619	T3	19930801	ES 1987-108706	19870616
ZA 8704449	A	19880224	ZA 1987-4449	19870619
AU 8774557	A	19880107	AU 1987-74557	19870622
AU 594788	B2	19900315		
IL 82945	A	19910610	IL 1987-82945	19870622

Updated Search

HU 44508	A2	19880328	HU 1987-2860	19870624
HU 198457	B	19891030		
DK 8703295	A	19871228	DK 1987-3295	19870626
DK 166207	B	19930322		
DK 166207	C	19930816		
NO 8702701	A	19871228	NO 1987-2701	19870626
NO 170973	B	19920928		
NO 170973	C	19930106		
JP 63008374	A	19880114	JP 1987-157957	19870626
US 4988714	A	19910129	US 1988-236802	19880826
PRIORITY APPLN. INFO.:			CH 1986-2608	A 19860627
			CH 1987-1186	A 19870327
			US 1987-57150	A3 19870603
			EP 1987-108706	A 19870616

OTHER SOURCE(S): MARPAT 109:73335

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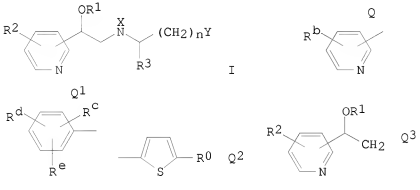

AB Pyridineethanolamines I [n = 1, 2; X = H, alkyl, alkoxyalkyl, CH2CHZORa; Z = Q,Q1, 4-RfC6H4OCH2; Y = 4-RC6H4, Q2; Ro = alkyl, COR4, CR5:CHCOR4; R = Ro, R''; R'' = H, alkyl, alkanoyl, (CH2)1-6OH, (CH2)1-6O(CH2)1-6R6, (CH2)1-6COR4; R1,Ra = alkanoyl, Bz, (CH2)1-6 OH; R2, Rb = H, Cl, Br, CF3; R3,R5 = H, Me; R4 = OH, alkoxy, NR7R8; R6 = H, Rg, OH, COR4; R7,R8 = H, alkyl; Rc,Re = H, Cl, F, Br, CF3; Rd = H, NH2; Rf= H, alkyl; Rc,Re = H, Cl, F, Br, CF3; Rd = H, NH2; Rf= H, AcNH, H2NCOCH2, R9CH2CH2OCH2CH2O; Rg,R9 = Ph (un)substituted with Cl, F, Br], useful in treating obesity, diabetes mellitus, and conditions with elevated protein degradation and as feed additives for fattened animals, were prepared by 2 methods: a) alkylation of X1X2NCHR3(CH2)nY (1 of X1 and X2 = H, the other = X or Q3) with an agent introducing the group Qc or 1 of group X; and b) optionally functionally changing a reactive substituent in a group Y of the reaction product, optionally esterifying an OH β to the amine N atom, and optional conversion of I into a salt. Methylenation of 6-chloro-2-pyridinecarboxaldehyde with Me2S:CH2 gave 2-chloro-6-epoxyethylpyridine which reacted with 4-[(R)-2-aminopropyl]phenol to give α,α'-[[[(R)-4-hydroxy-α-methylphenethyl]imino]dimethylen e]bis[(RS)-6-chloro-2-pyridinemethanol] (II) and the corresponding monopyridine compound Treating II with MeSO2OCH2CH2OEt gave the

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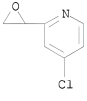
4-(ethoxyethoxy) analog of II. The latter, at 0.1 μM/kg in rats, gave 165% and 122% O consumption in 1-3 h and 1-12 h, resp., compared with the pre-treatment period O consumption. A formulation comprised (RS)-6-chloro-α-[[[(R)-4-(2-ethoxyethoxy)-α-methylphenethyl]amino]methyl]-2-pyridinemethanol 250, lactose 200, corn starch 300, corn starch paste 50, Ca stearate 5, and Ca phosphate 45 mg.

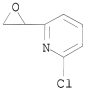
IT 55967-94-7P 115548-57-7P 115548-61-3P
115569-90-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, as intermediate for obesity, diabetes mellitus, and elevated protein degradation remedy)

RN 55967-94-7 HCAPLUS
CN Pyridine, 2-(2-oxiranyl)- (CA INDEX NAME)

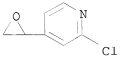
RN 115548-57-7 HCAPLUS
CN Pyridine, 4-chloro-2-oxiranyl- (9CI) (CA INDEX NAME)



RN 115548-61-3 HCAPLUS
CN Pyridine, 2-chloro-6-oxiranyl- (9CI) (CA INDEX NAME)



RN 115569-90-9 HCAPLUS
CN Pyridine, 2-chloro-4-oxiranyl- (9CI) (CA INDEX NAME)



Updated Search

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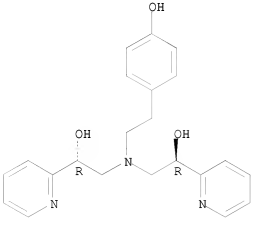
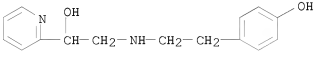
IT 115548-08-8P 115548-09-9P 115548-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of obesity, diabetes mellitus, and elevated protein degradation remedy)
RN 115548-08-8 HCAPLUS
CN 2-Pyridinemethanol, α,α'-[[[2-(4-hydroxyphenyl)ethyl]imino]bis(methylene)]bis-, (R*,R*)- (9CI) (CA INDEX NAME)

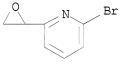
Relative stereochemistry.



RN 115548-09-9 HCAPLUS
CN 2-Pyridinemethanol, α-[[[2-(4-hydroxyphenyl)ethyl]amino]methyl]- (CA INDEX NAME)



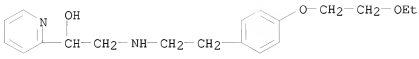
RN 115548-12-4 HCAPLUS
CN Pyridine, 2-bromo-6-oxiranyl- (9CI) (CA INDEX NAME)



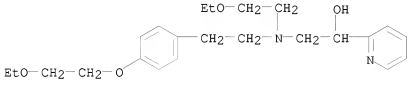
IT 115548-25-9P 115548-26-0P 115548-27-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as remedy for obesity, diabetes mellitus, and elevated protein degradation)
RN 115548-25-9 HCAPLUS
CN 2-Pyridinemethanol, α-[[[2-[4-(2-ethoxyethoxy)phenyl]ethyl]amino]met

Updated Search

hyl]- (CA INDEX NAME)

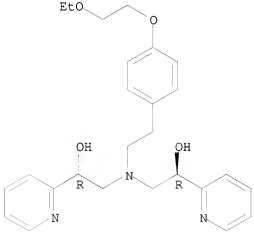


RN 115548-26-0 HCAPLUS
CN 2-Pyridinemethanol, α-[[[2-[4-(2-ethoxyethoxy)phenyl]ethyl](2-ethoxyethyl)amino]methyl]- (CA INDEX NAME)



RN 115548-27-1 HCAPLUS
CN 2-Pyridinemethanol, α,α'-[[[2-[4-(2-ethoxyethoxy)phenyl]ethyl]imino]bis(methylene)]bis-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 34064-35-2 60699-67-4 115548-61-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of obesity, diabetes mellitus, and elevated protein degradation remedy)
RN 34064-35-2 HCAPLUS
CN Pyridine, 4-(2-oxiranyl)- (CA INDEX NAME)

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RN 60699-67-4 HCAPLUS
CN Pyridine, 3-oxiranyl- (9CI) (CA INDEX NAME)

RN 115548-61-3 HCAPLUS
CN Pyridine, 2-chloro-6-oxiranyl- (9CI) (CA INDEX NAME)

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